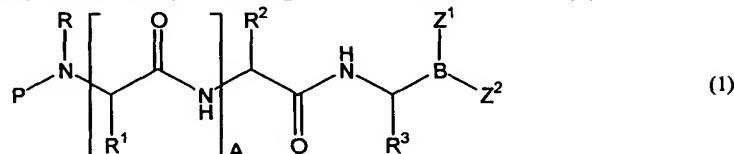


CLAIM AMENDMENTS

1. (Currently Amended) A compound of the formula (1):



(1)

wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R¹, R², and R³ are each independently hydrogen, alkyl, cycloalkyl, aryl, or -CH₂-R⁵;

R⁵, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or -W-R⁶, where W is a chalcogen and R⁶ is alkyl;

wherein the ring portion of any said aryl, aralkyl, ~~alkaryl~~ alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R¹, R², R³, or R⁵ can be optionally substituted; and

Z¹ and Z² together form a moiety derived from a sugar, wherein the atom attached to boron in each case ~~in~~ is an oxygen atom.

2. (Original) The compound of claim 1, wherein the sugar is a monosaccharide or disaccharide.

3. (Original) The compound of claim 1, wherein the sugar is a reduced sugar.

4. (Currently Amended) The compound of claim 3, wherein the reduced sugar is ~~mannitol or~~ sorbitol.

5. (Original) The compound of claim 1, wherein A is 0.

6. (Canceled)

7. (Canceled)

8. (Original) The compound of claim 1, wherein P is R⁷-C(O)-, R⁷-S(O)₂-, R⁷-NH-C(O)-, or R⁷-O-C(O)-;

where R^7 is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is R^7 -C(O)- or R^7 -S(O)₂-, R^7 can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

9. (Original) The compound of claim 8, wherein P is R^7 -C(O)- or R^7 -S(O)₂-, and R^7 is an aromatic heterocycle.

10. (Original) The compound of claim 9, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

11. (Original) The compound of claim 8, wherein

A is zero;

R is hydrogen or C₁-C₈ alkyl; and

R^3 is C₁-C₆ alkyl.

12. (Currently Amended) The compound of claim 11, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

13. (Canceled)

14. (Original) The compound of claim 1, wherein R¹, R², and R³ are each independently hydrogen, C₁-C₈ alkyl, C₃-C₁₀ cycloalkyl, C₆-C₁₀ aryl, or -CH₂-R⁵; R⁵ in each instance is C₆-C₁₀ aryl, (C₆-C₁₀)ar(C₁-C₆)alkyl, (C₁-C₆)alk(C₆-C₁₀)aryl, C₃-C₁₀ cycloalkyl, C₁-C₈ alkoxy, or C₁-C₈ alkylthio; wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R¹, R², R³, or R⁵ can be optionally substituted.

15. (Currently Amended) The compound of claim 1, wherein said compound is a sugar ester of a:

D-Mannitol N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate boronic acid;

D-Mannitol N-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronate boronic acid;

D-Mannitol N-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronate boronic acid;

D-Mannitol N-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronate boronic acid;

D-Mannitol N-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate boronic acid;

D-Mannitol N-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate boronic acid;

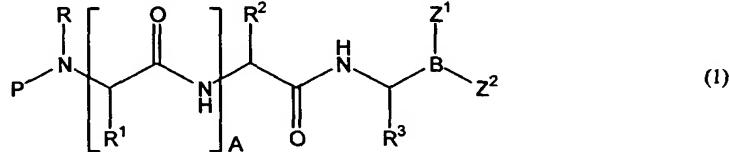
D-Mannitol N-(4-morpholine)carbonyl-(O-benzyl)-L-tyrosine-L-leucine boronate boronic acid;

D-Mannitol N-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronate boronic acid; or

D-Mannitol N-(4-morpholine)carbonyl-[O-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronate boronic acid.

16. (Currently Amended) The compound of claim 1, wherein said compound is a sugar ester of a D-mannitol N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate boronic acid.

17. (Currently Amended) A lyophilized compound of the formula (1):



wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R¹, R², and R³ are each independently hydrogen, alkyl, cycloalkyl, aryl, or -CH₂-R⁵;

R⁵, in each instance, is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or -W-R⁶, where W is a chalcogen and R⁶ is alkyl;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R¹, R², R³, or R⁵ can be optionally substituted; and

Z¹ and Z² together form a moiety derived from a sugar, wherein the atom attached to boron in each case is an oxygen atom.

18. (Original) The compound of claim 17, wherein the sugar is a monosaccharide or disaccharide.

19. (Original) The compound of claim 17, wherein the sugar is a reduced sugar.

20. (Original) The compound of claim 17, wherein A is 0.

21. (Currently Amended) The compound of claim 19, wherein the reduced sugar is ~~mannitol or~~ sorbitol.

22. (Canceled)

23. (Canceled)

24. (Original) The compound of claim 17, wherein P is $R^7\text{-C(O)-}$, $R^7\text{-S(O)}_2\text{-}$, $R^7\text{-NH-C(O)-}$, or $R^7\text{-O-C(O)-}$;

where R^7 is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is $R^7\text{-C(O)-}$ or $R^7\text{-S(O)}_2\text{-}$, R^7 can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

25. (Original) The compound of claim 24, wherein P is $R^7\text{-C(O)-}$ or $R^7\text{-S(O)}_2\text{-}$, and R^7 is an aromatic heterocycle.

26. (Original) The compound of claim 25, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

27. (Original) The compound of claim 24, wherein

A is zero;

R is hydrogen or $C_1\text{-}C_8$ alkyl; and

R^3 is $C_1\text{-}C_6$ alkyl.

28. (Currently Amended) The compound of claim 27, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

29. (Canceled)

30. (Original) The compound of claim 17, wherein

R^1 , R^2 , and R^3 are each independently hydrogen, $C_1\text{-}C_8$ alkyl, $C_3\text{-}C_{10}$ cycloalkyl, $C_6\text{-}C_{10}$ aryl, or $-\text{CH}_2\text{-}R^5$;

R^5 in each instance is $C_6\text{-}C_{10}$ aryl, $(C_6\text{-}C_{10})\text{ar}(C_1\text{-}C_6)\text{alkyl}$, $(C_1\text{-}C_6)\text{alk}(C_6\text{-}C_{10})\text{aryl}$, $C_3\text{-}C_{10}$ cycloalkyl, $C_1\text{-}C_8$ alkoxy, or $C_1\text{-}C_8$ alkylthio;

wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R¹, R², R³, or R⁵ can be optionally substituted.

31. (Currently Amended) The compound of claim 25, wherein said compound is a sugar ester of a:

~~D-Mannitol N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate boronic acid;~~

~~D-Mannitol N-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronate boronic acid;~~

~~D-Mannitol N-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronate boronic acid;~~

~~D-Mannitol N-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronate boronic acid;~~

~~D-Mannitol N-(4-morpholine)carbonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate boronic acid;~~

~~D-Mannitol N-(8-quinoline)sulfonyl-β-(1-naphthyl)-L-alanine-L-leucine boronate boronic acid;~~

~~D-Mannitol N-(4-morpholine)carbonyl-(O-benzyl)-L-tyrosine-L-leucine boronate boronic acid;~~

~~D-Mannitol N-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronate boronic acid;~~ or

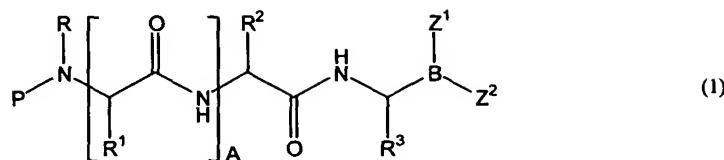
~~D-Mannitol N-(4-morpholine)carbonyl-[O-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronate boronic acid.~~

32. (Currently Amended) The lyophilized compound of claim 25, wherein said compound is a sugar ester of a D-mannitol N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronate boronic acid.

33. (Original) The compound of claim 17, wherein the compound is stable at 0 °C for at least one month.

34. (Original) The compound of claim 17, wherein the compound is stable at 40 °C for at least one month.

35. (Currently Amended) A method of preparing a lyophilized compound of the formula (1):



wherein

P is hydrogen or an amino-group protecting moiety;

R is hydrogen or alkyl;

A is 0, 1, or 2;

R¹, R², and R³ are each independently hydrogen, alkyl, cycloalkyl, aryl, or -CH₂-R⁵;

R⁵ in each instance is aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, heteroaryl, or -W-R⁶, where W is a chalcogen and R⁶ is alkyl;

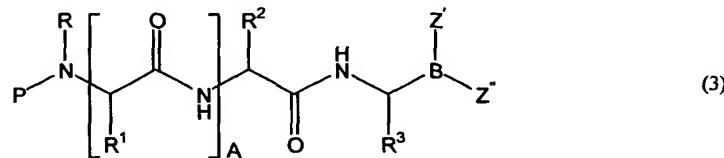
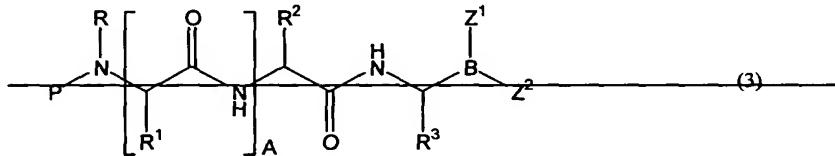
wherein the ring portion of any said aryl, aralkyl, alkaryl alkaryl, cycloalkyl, heterocyclyl, or heteroaryl in R¹, R², R³, or R⁵ can be optionally substituted; and

Z¹ and Z² are derived from a sugar together form a moiety derived from a sugar;
the method comprising:

(a) preparing a mixture comprising

(i) water,

(ii) a compound of formula (3).



wherein P, R, A, R¹, R², and R³ are as described above; and

Z¹ and Z² Z' and Z' are OH; and

(iii) a moiety derived from sugar; and

(b) lyophilizing the mixture.

36. (Original) The method of claim 35, wherein the sugar is a monosaccharide or disaccharide.

37. (Original) The method of claim 35, wherein the sugar is a reduced sugar.

38. (Currently Amended) The method of claim 37, wherein the reduced sugar is ~~mannitol or sorbitol~~.

39. (Canceled)

40. (Canceled)

41. (Original) The method of claim 35, wherein P is $R^7\text{-C(O)-}$, $R^7\text{-S(O)}_2\text{-}$, $R^7\text{-NH-C(O)-}$, or $R^7\text{-O-C(O)-}$;

where R^7 is alkyl, aryl, alkaryl, or aralkyl, any of which can be optionally substituted, or when P is $R^7\text{-C(O)-}$ or $R^7\text{-S(O)}_2\text{-}$, R^7 can also be an optionally substituted 5- to 10-membered saturated, partially saturated, or aromatic heterocycle.

42. (Original) The method of claim 41, wherein P is $R^7\text{-C(O)-}$ or $R^7\text{-S(O)}_2\text{-}$, and R^7 is an aromatic heterocycle.

43. (Original) The method of claim 42, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

44. (Original) The method of claim 35, wherein

A is zero;

R is hydrogen or $C_1\text{-}C_6$ alkyl; and

R^3 is $C_1\text{-}C_6$ alkyl.

45. (Currently Amended) The method of claim 44, wherein P is (2-pyrazine)carbonyl or (2-pyrazine)sulfonyl.

46. (Original) The method of claim 35, wherein R^1 , R^2 , and R^3 are each independently hydrogen, $C_1\text{-}C_8$ alkyl, $C_3\text{-}C_{10}$ cycloalkyl, $C_6\text{-}C_{10}$ aryl, or $-\text{CH}_2\text{-}R^5$; R^5 in each instance is $C_6\text{-}C_{10}$ aryl, $(C_6\text{-}C_{10})\text{ar}(C_1\text{-}C_6)\text{alkyl}$, $(C_1\text{-}C_6)\text{alk}(C_6\text{-}C_{10})\text{aryl}$, $C_3\text{-}C_{10}$ cycloalkyl, $C_1\text{-}C_8$ alkoxy, or $C_1\text{-}C_8$ alkylthio; wherein the ring portion of any said aryl, aralkyl, alkaryl, cycloalkyl, heterocyclyl, or heteroaryl groups of R^1 , R^2 , R^3 , or R^5 can be optionally substituted.

47. (Original) The method of claim 35, wherein the compound of formula (3) is:

N-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(2-quinoline)sulfonyl-L-homophenylalanine-L-leucine boronic acid;
N-(3-pyridine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-L-phenylalanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl- β -(1-naphthyl)-L-alanine-L-leucine boronic acid;
N-(8-quinoline)sulfonyl- β -(1-naphthyl)-L-alanine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-(*O*-benzyl)-L-tyrosine-L-leucine boronic acid;
N-(4-morpholine)carbonyl-L-tyrosine-L-leucine boronic acid; or
N-(4-morpholine)carbonyl-[*O*-(2-pyridylmethyl)]-L-tyrosine-L-leucine boronic acid.

48. (Canceled)

49. (Original) The method of claim 47, wherein the compound of formula (3) is *N*-(2-pyrazine)carbonyl-L-phenylalanine-L-leucine boronic acid.

50. (Original) The method of claim 35, wherein the mixture further comprises a water-miscible solvent.

51. (Original) The method of claim 50, wherein the water-miscible solvent is an alcohol.

52. (Original) The method of claim 51, wherein the alcohol is *tert*-butanol.

53. (Currently Amended) The method of claim 35, wherein the moiety derived from sugar and the compound of formula (3) are present in at least a 1:1 ratio.

54. (Currently Amended) The method of claim 35, wherein the moiety derived from sugar and the compound of formula (3) are present in at least a 5:1 ratio.

55. (Original) A lyophilized cake comprising the compound of claim 17.

56. (New) A composition comprising the compound of claim 1 and a pharmaceutically-acceptable carrier.

57. (New) A composition comprising the compound of claim 8 and a pharmaceutically-acceptable carrier.

58. (New) A composition comprising the compound of claim 12 and a pharmaceutically-acceptable carrier.

59. (New) A composition comprising the compound of claim 16 and a pharmaceutically-acceptable carrier.

60. (New) A composition comprising the compound of claim 17 and a pharmaceutically-acceptable carrier.

61. (New) A composition comprising the compound of claim 24 and a pharmaceutically-acceptable carrier.

62. (New) A composition comprising the compound of claim 28 and a pharmaceutically-acceptable carrier.

63. (New) A composition comprising the compound of claim 32 and a pharmaceutically-acceptable carrier.

64. (New) A lyophilized cake comprising the compound of claim 24.

65. (New) A lyophilized cake comprising the compound of claim 28.

66. (New) A lyophilized cake comprising the compound of claim 32.

67. (New) The method of claim 45, wherein A is 0.

68. (New) The method of claim 35 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

69. (New) The method of claim 41 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

70. (New) The method of claim 45 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

71. (New) The method of claim 49 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

72. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 35 and (ii) a pharmaceutically-acceptable carrier.

73. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 41 and (ii) a pharmaceutically-acceptable carrier.

74. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 45 and (ii) a pharmaceutically-acceptable carrier.

75. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 49 and (ii) a pharmaceutically-acceptable carrier.

76. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 35.

77. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 41.

78. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 45.

79. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 49.

80. (New) The compound of claim 11, wherein P is (2-pyrazine)carbonyl.

81. (New) A composition comprising the compound of claim 80 and a pharmaceutically-acceptable carrier.

82. (New) The compound of claim 27, wherein P is (2-pyrazine)carbonyl.
83. (New) A composition comprising the compound of claim 82 and a pharmaceutically-acceptable carrier.
84. (New) A lyophilized cake comprising the compound of claim 82.
85. (New) The method of claim 44, wherein P is (2-pyrazine)carbonyl.
86. (New) A composition comprising the compound of claim 85 and a pharmaceutically-acceptable carrier.
87. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 85.
88. (New) The compound of claim 1, wherein P and R together form a cyclic moiety.
89. (New) The compound of claim 88, wherein Z¹ and Z² together form a moiety derived from a monosaccharide or disaccharide.
90. (New) The compound of claim 89, wherein
A is zero;
R is hydrogen or C₁-C₈ alkyl;
R³ is C₁-C₆ alkyl; and
P is (2-pyrazine)carbonyl.
91. (New) A composition comprising the compound of claim 88 and a pharmaceutically-acceptable carrier.
92. (New) A composition comprising the compound of claim 89 and a pharmaceutically-acceptable carrier.
93. (New) A composition comprising the compound of claim 90 and a pharmaceutically-acceptable carrier.

94. (New) The compound of claim 17, wherein P and R together form a cyclic moiety.

95. (New) The compound of claim 94, wherein Z¹ and Z² together form a moiety derived from a monosaccharide or disaccharide.

96. (New) The compound of claim 95, wherein
A is zero;
R is hydrogen or C₁-C₈ alkyl;
R³ is C₁-C₆ alkyl; and
P is (2-pyrazine)carbonyl.

97. (New) A composition comprising the compound of claim 94 and a pharmaceutically-acceptable carrier.

98. (New) A composition comprising the compound of claim 95 and a pharmaceutically-acceptable carrier.

99. (New) A composition comprising the compound of claim 96 and a pharmaceutically-acceptable carrier.

100. (New) A lyophilized cake comprising the compound of claim 94.

101. (New) A lyophilized cake comprising the compound of claim 95.

102. (New) A lyophilized cake comprising the compound of claim 96.

103. (New) The method of claim 35, wherein P and R together form a cyclic moiety.

104. (New) The method of claim 103, wherein Z¹ and Z² together form a moiety derived from a monosaccharide or disaccharide.

105. (New) The method of claim 104, wherein
A is zero;
R is hydrogen or C₁-C₈ alkyl;

R^3 is C_1 - C_6 alkyl; and
P is (2-pyrazine)carbonyl.

106. (New) The method of claim 103 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

107. (New) The method of claim 104 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

108. (New) The method of claim 105 further comprising (c) reconstituting the lyophilized mixture with a pharmaceutically-acceptable carrier.

109. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 103 and (ii) a pharmaceutically-acceptable carrier.

110. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 104 and (ii) a pharmaceutically-acceptable carrier.

111. (New) A composition comprising (i) the compound of formula (1) prepared in accordance with the method of claim 105 and (ii) a pharmaceutically-acceptable carrier.

112. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 103.

113. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 104.

114. (New) A lyophilized cake comprising the compound of formula (1) prepared in accordance with the method of claim 105.